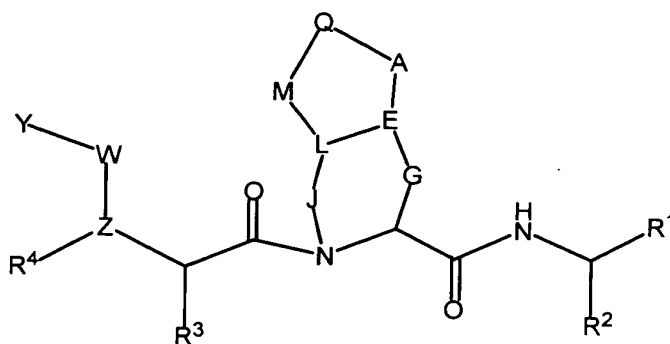


Claims

What is claimed is:

1. A compound, including enantiomers, stereoisomers, rotamers, tautomers, racemates and prodrug of said compound, and pharmaceutically acceptable salts or solvates of said compound, or of said prodrug, said compound having the general structure shown in Formula I:



Formula I

wherein:

- Y is selected from the group consisting of the following moieties: alkyl, alkyl-aryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkyl-heteroaryl, cycloalkyl, alkyloxy, alkyl-aryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, , alkylamino, arylamino, alkyl-aryl amino, arylamino, heteroaryl amino, cycloalkyl amino and heterocycloalkyl amino, with the proviso that Y maybe optionally substituted with X^{11} or X^{12} ;

- X^{11} is alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, heterocyclyl, heterocyclylalkyl, aryl, alkylaryl, arylalkyl, heteroaryl, alkylheteroaryl, or heteroarylalkyl, with the proviso that X^{11} may be additionally optionally substituted with X^{12} ;

X^{12} is hydroxy, alkoxy, aryloxy, thio, alkylthio, arylthio, amino, alkylamino, arylamino, alkylsulfonyl, arylsulfonyl, alkylsulfonamido, arylsulfonamido, carboxy, carbalkoxy, carboxamido, alkoxycarbonylamino, alkoxycarbonyloxy, alkylureido,

arylureido, halogen, cyano, or nitro, with the proviso that said alkyl, alkoxy, and aryl may be additionally optionally substituted with moieties independently selected from X^{12} ;

R^1 is COR^5 or $B(OR)_2$, wherein R^5 is H, OH, OR^8 , NR^9R^{10} , CF_3 , C_2F_5 , C_3F_7 ,

5 CF_2R^6 , R^6 , or COR^7 wherein R^7 is H, OH, OR^8 , CHR^9R^{10} , or NR^9R^{10} , wherein R^6 , R^8 , R^9 and R^{10} are independently selected from the group consisting of H, alkyl, aryl, heteroalkyl, heteroaryl, cycloalkyl, cycloalkyl, arylalkyl, heteroarylalkyl, $[CH(R^1)]_pCOOR^{11}$, $[CH(R^1)]_pCONR^{12}R^{13}$, $[CH(R^1)]_pSO_2R^{11}$, $[CH(R^1)]_pCOR^{11}$, $[CH(R^1)]_pCH(OH)R^{11}$,
10 $CH(R^1)CONHCH(R^2)COOR^{11}$, $CH(R^1)CONHCH(R^2)CONR^{12}R^{13}$, $CH(R^1)CONHCH(R^2)R'$, $CH(R^1)CONHCH(R^2)CONHCH(R^3)COOR^{11}$, $CH(R^1)CONHCH(R^2)CONHCH(R^3)CONR^{12}R^{13}$, $CH(R^1)CONHCH(R^2)CONHCH(R^3)CONHCH(R^4)COOR^{11}$, $CH(R^1)CONHCH(R^2)CONHCH(R^3)CONHCH(R^4)CONR^{12}R^{13}$,
15 $CH(R^1)CONHCH(R^2)CONHCH(R^3)CONHCH(R^4)CONHCH(R^5)COOR^{11}$ and $CH(R^1)CONHCH(R^2)CONHCH(R^3)CONHCH(R^4)CONHCH(R^5)CONR^{12}R^{13}$, wherein R^1 , R^2 , R^3 , R^4 , R^5 , R^{11} , R^{12} , R^{13} , and R' are independently selected from the group consisting of H, alkyl, aryl, heteroalkyl, heteroaryl, cycloalkyl, alkyl-aryl, alkyl-heteroaryl, aryl-alkyl and
20 heteroarylalkyl;

Z is selected from O, N, CH or CR;

W maybe present or absent, and if W is present, W is selected from $C=O$, $C=S$, $C(=N-CN)$, or SO_2 ;

Q maybe present or absent, and when Q is present, Q is CH, N, P, $(CH_2)_p$,

25 $(CHR)_p$, $(CRR')_p$, O, NR, S, or SO_2 ; and when Q is absent, M may be present or absent; when Q and M are absent, A is directly linked to L;

A is O, CH_2 , $(CHR)_p$, $(CHR-CHR')_p$, $(CRR')_p$, NR, S, SO_2 or a bond;

E is CH, N, CR, or a double bond towards A, L or G;

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G may be present or absent, and when G is present, G is $(CH_2)_p$, $(CHR)_p$, or $(CRR')_p$; and when G is absent, J is present and E is directly connected to the carbon atom in Formula I as G is linked to;

J may be present or absent, and when J is present, J is $(CH_2)_p$, $(CHR)_p$, or $(CRR')_p$, SO_2 , NH , NR or O ; and when J is absent, G is present and E is directly linked to N shown in Formula I as linked to J;

L may be present or absent, and when L is present, L is CH , CR , O , S or NR ; and when L is absent, then M may be present or absent; and if M is present with L being absent, then M is directly and independently linked to E, and J is directly and independently linked to E;

M may be present or absent, and when M is present, M is O , NR , S , SO_2 , $(CH_2)_p$, $(CHR)_p$, $(CHR-CHR')_p$, or $(CRR')_p$;

p is a number from 0 to 6; and

R, R', R^2 , R^3 and R^4 are independently selected from the group consisting of H; C_1 - C_{10} alkyl; C_2 - C_{10} alkenyl; C_3 - C_8 cycloalkyl; C_3 - C_8 heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halogen; (cycloalkyl)alkyl and (heterocycloalkyl)alkyl, wherein said cycloalkyl is made of three to eight carbon atoms, and zero to six oxygen, nitrogen, sulfur, or phosphorus atoms, and said alkyl is of one to six carbon atoms; aryl; heteroaryl; alkyl-aryl; and alkyl-heteroaryl;

wherein said alkyl, heteroalkyl, alkenyl, heteroalkenyl, aryl, heteroaryl, cycloalkyl and heterocycloalkyl moieties may be optionally and chemically-suitably substituted, with said term "substituted" referring to optional and chemically-suitable substitution with one or more moieties selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, aralkyl, cycloalkyl, heterocyclic, halogen, hydroxy, thio, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, sulfonamido, sulfoxide, sulfone, sulfonyl urea, hydrazide, and hydroxamate;

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further wherein said unit N-C-G-E-L-J-N represents a five-membered or six-membered cyclic ring structure with the proviso that when said unit N-C-G-E-L-J-N represents a five-membered cyclic ring structure, or when the bicyclic ring structure in Formula I comprising N, C, G, E, L, J, N, A, Q, and M represents a five-membered cyclic ring structure, then said five-membered cyclic ring structure lacks a carbonyl group as part of the cyclic ring.

2. The compound of claim 1, wherein R^1 is COR^5 , and R^5 is H, OH, $COOR^8$, $CONR^9R^{10}$.

3. The compound of claim 2, wherein R^1 is $COCONR^9R^{10}$, and R^9 is H, R^{10} is H, R^{14} , $[CH(R^1)]_pCOOR^{11}$, $[CH(R^1)]_pCONR^{12}R^{13}$, $[CH(R^1)]_pSO_2R^{11}$, $[CH(R^1)]_pSO_2NR^{12}R^{13}$, $[CH(R^1)]_pCOR^{11}$, $CH(R^1)CONHCH(R^2)COOR^{11}$, $CH(R^1)CONHCH(R^2)CONR^{12}R^{13}$, or $CH(R^1)CONHCH(R^2)(R')$, wherein R^{14} is H, alkyl, aryl, heteroalkyl, heteroaryl, cycloalkyl, alkyl-aryl, alkyl-heteroaryl, aryl-alkyl, alkenyl, alkynyl or heteroaralkyl.

4. The compound of claim 3, wherein R^{10} is H, R^{14} , $CH(R^1)COOR^{11}$, $CH(R^1)CH(R^1)COOR^{11}$, $CH(R^1)CONR^{12}R^{13}$, $CH(R^1)CH(R^1)CONR^{12}R^{13}$, $CH(R^1)CH(R^1)SO_2R^{11}$, $CH(R^1)CH(R^1)SO_2NR^{12}R^{13}$, $CH(R^1)CH(R^1)COR^{11}$, $CH(R^1)CONHCH(R^2)COOR^{11}$, $CH(R^1)CONHCH(R^2)CONR^{12}R^{13}$, or $CH(R^1)CONHCH(R^2)(R')$, wherein R^1 is H or alkyl, and R^2 is phenyl, substituted phenyl, hetero atom-substituted phenyl, thiophenyl, cycloalkyl, piperidyl or pyridyl.

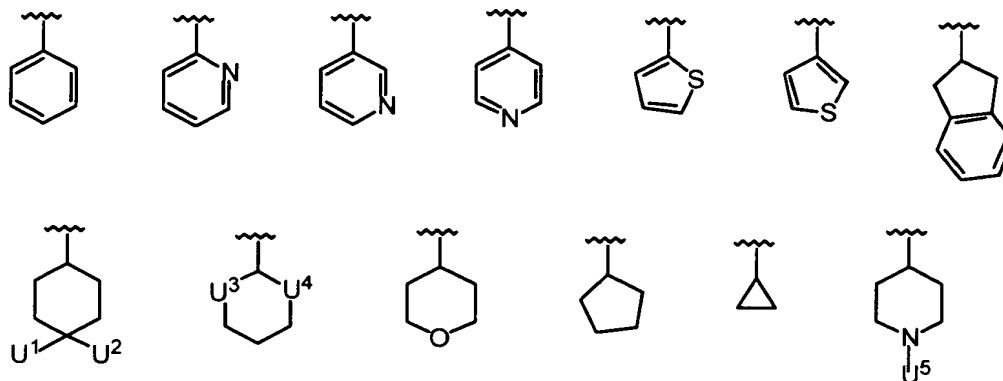
5. The compound of claim 4, wherein R^1 is H.

6. The compound of claim 5, wherein R^{11} is H, methyl, ethyl, allyl, *tert*-butyl, benzyl, α -methylbenzyl, α,α -dimethylbenzyl, 1-methylcyclopropyl or 1-methylcyclopentyl;

R' is hydroxymethyl or $CH_2CONR^{12}R^{13}$;

R^2 is independently selected from the group consisting of:

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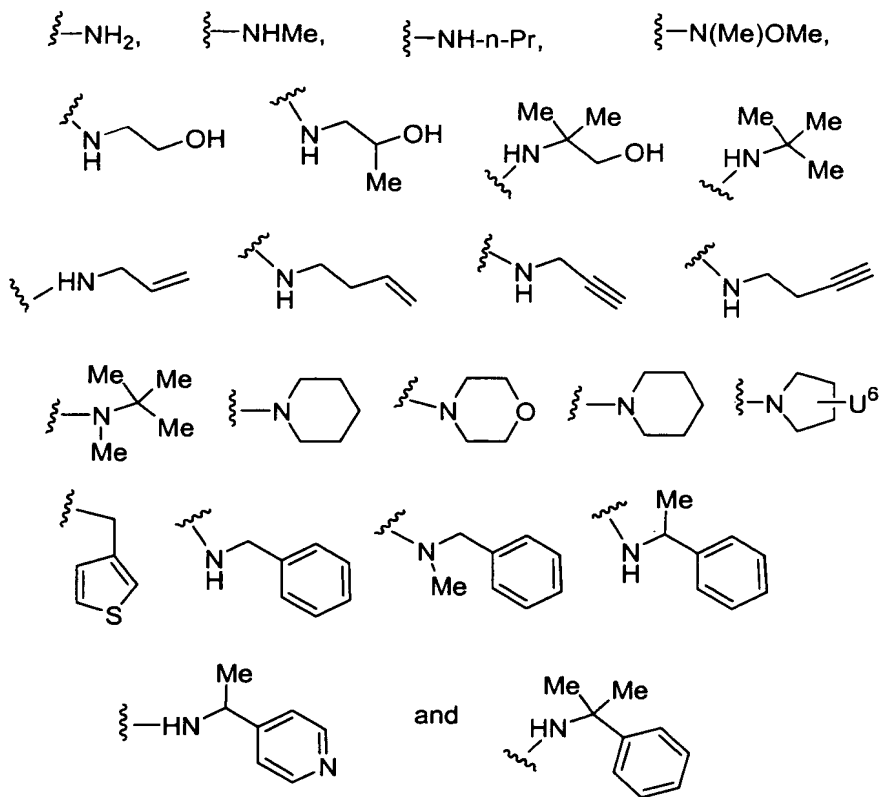
wherein:

U^1 and U^2 maybe same or different and are selected
 from H, F, CH_2COOH , CH_2COOMe , CH_2CONH_2 ,
 $CH_2CONHMe$, CH_2CONMe_2 , azido, amino, hydroxyl,
 substituted amino, substituted hydroxyl;

U^3 and U^4 maybe same or different and are selected
 from O and S;

U^5 is selected from the moieties consisting of alkyl
 sulfonyl, aryl sulfonyl, heteroalkyl sulfonyl, heteroaryl
 sulfonyl, alkyl carbonyl, aryl carbonyl, heteroalkyl
 carbonyl, heteroaryl carbonyl, alkoxycarbonyl,
 aryloxycarbonyl, heteroaryloxycarbonyl,
 alkylaminocarbonyl, arylaminocarbonyl,
 heteroarylaminocarbonyl or a combination thereof;

and $NR^{12}R^{13}$ is selected from the group consisting of:

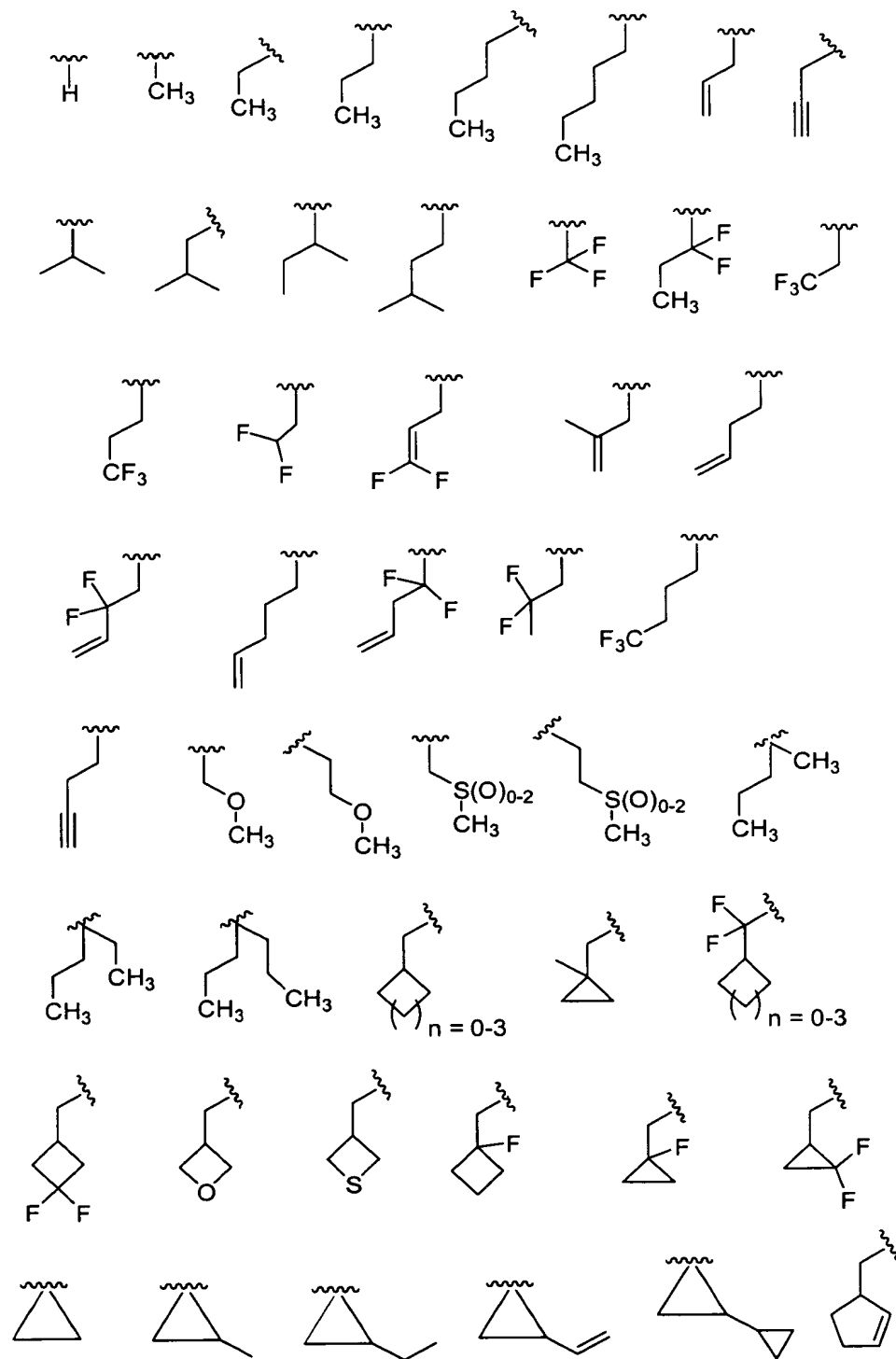


wherein U^6 is H, OH, or CH_2OH , and

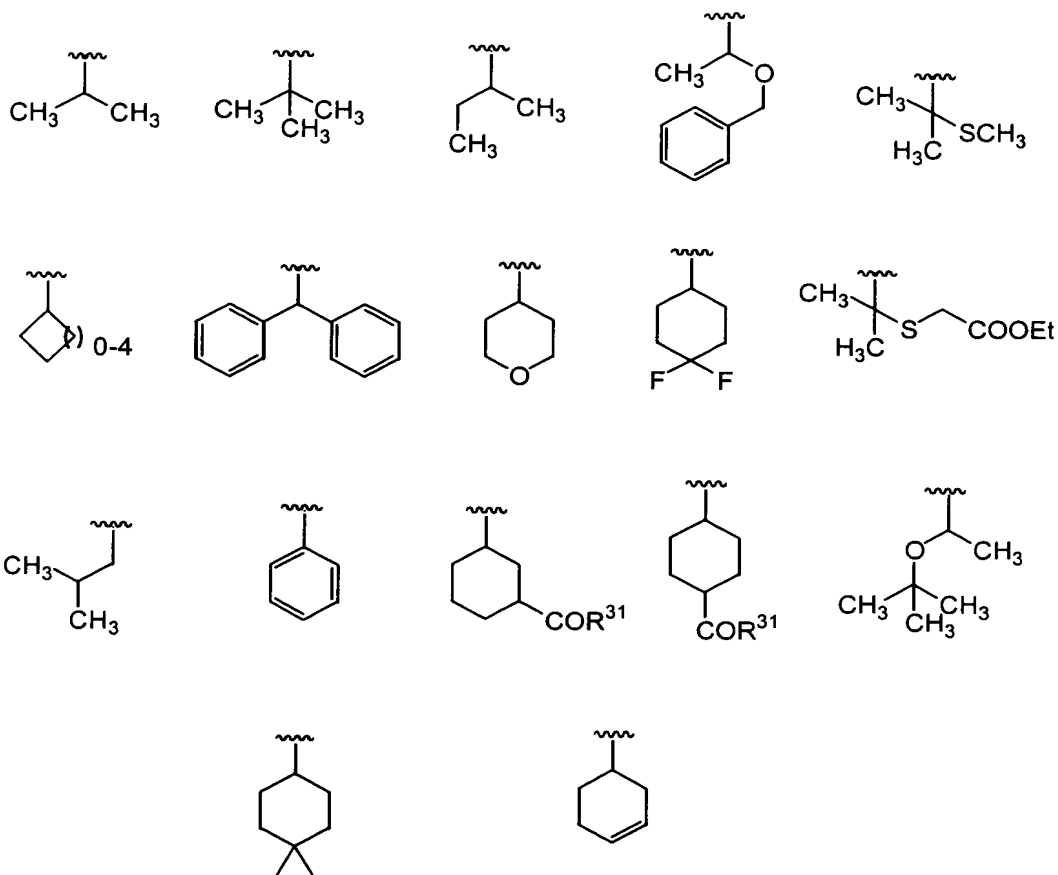
R^{14} is selected from the group consisting of: H, Me, Et, *n*-propyl, methoxy, cyclopropyl, *n*-butyl, 1-but-3-ynyl, benzyl, α -methylbenzyl, phenethyl, allyl, 1-but-3-enyl, OMe, cyclopropylmethyl.

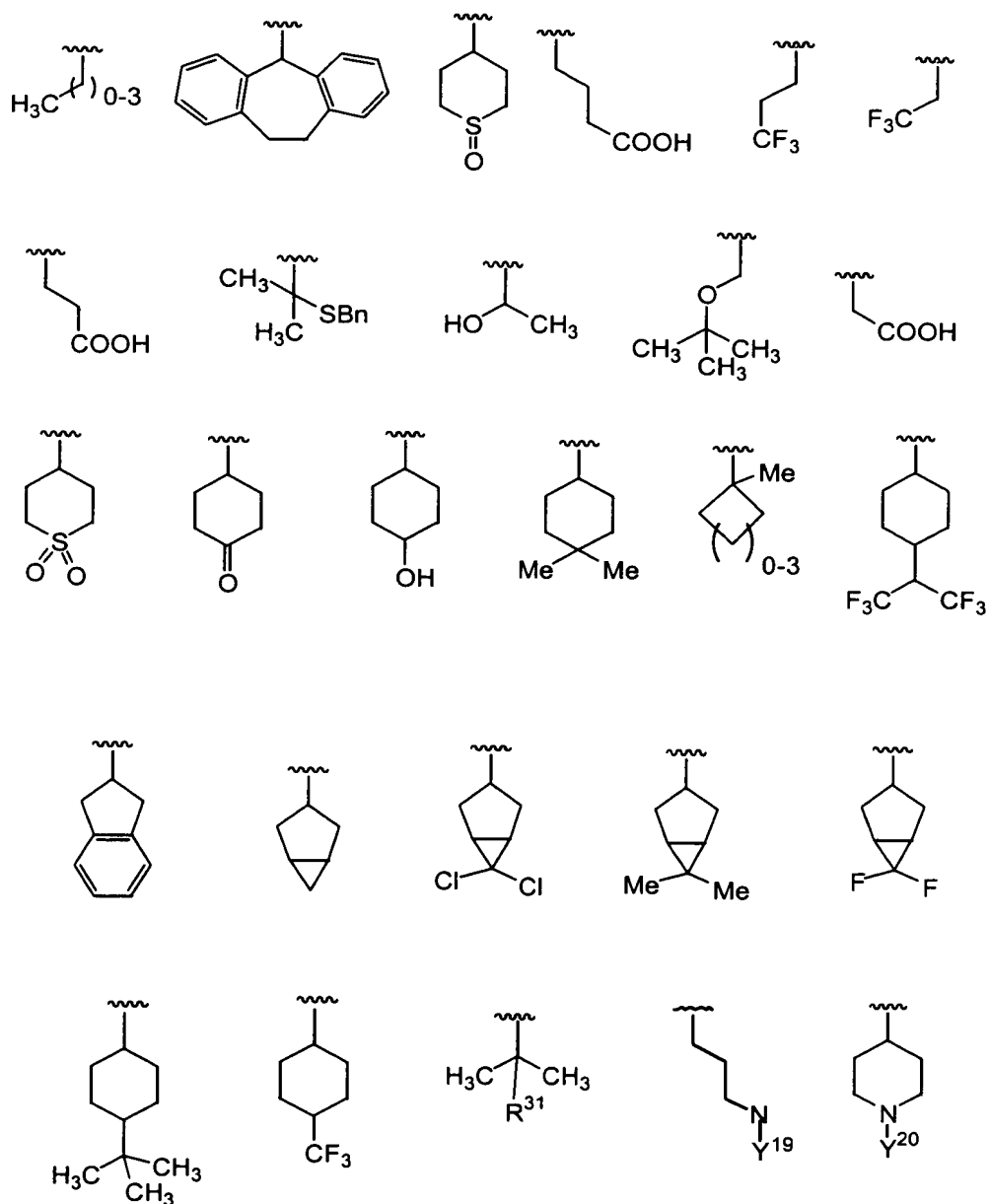
7. The compound of claim 2, wherein R^2 is selected from the group consisting of the following moieties:

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8. The compound of claim 7, wherein R^3 is selected from the group consisting of:

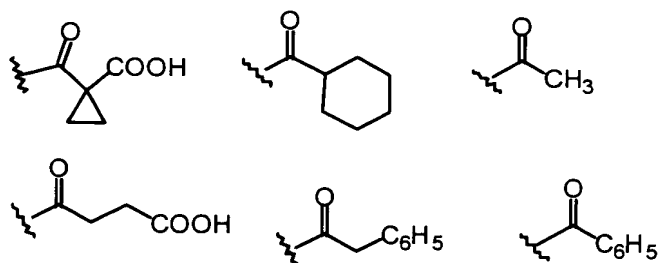




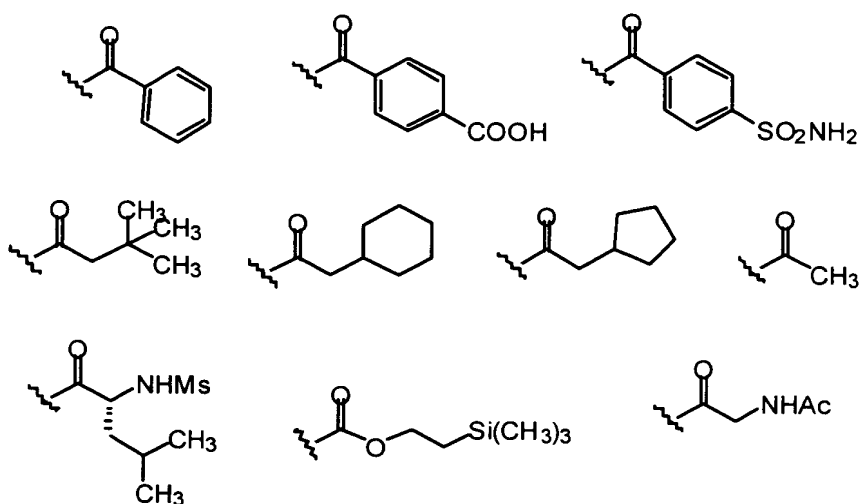
5

wherein R^{31} = OH or O-alkyl;

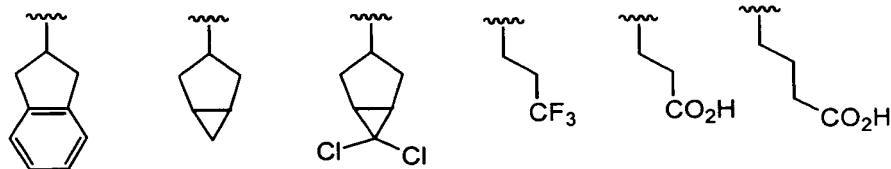
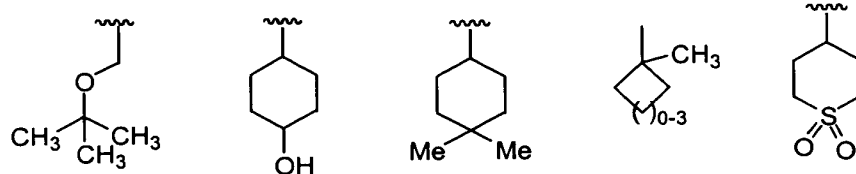
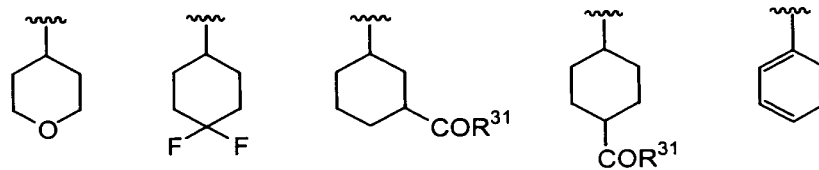
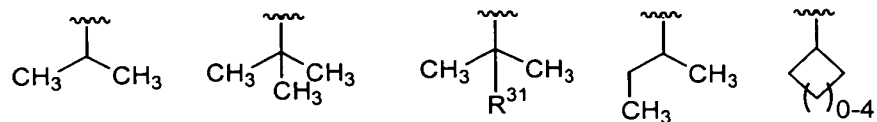
Y^{19} is selected from the following moieties:



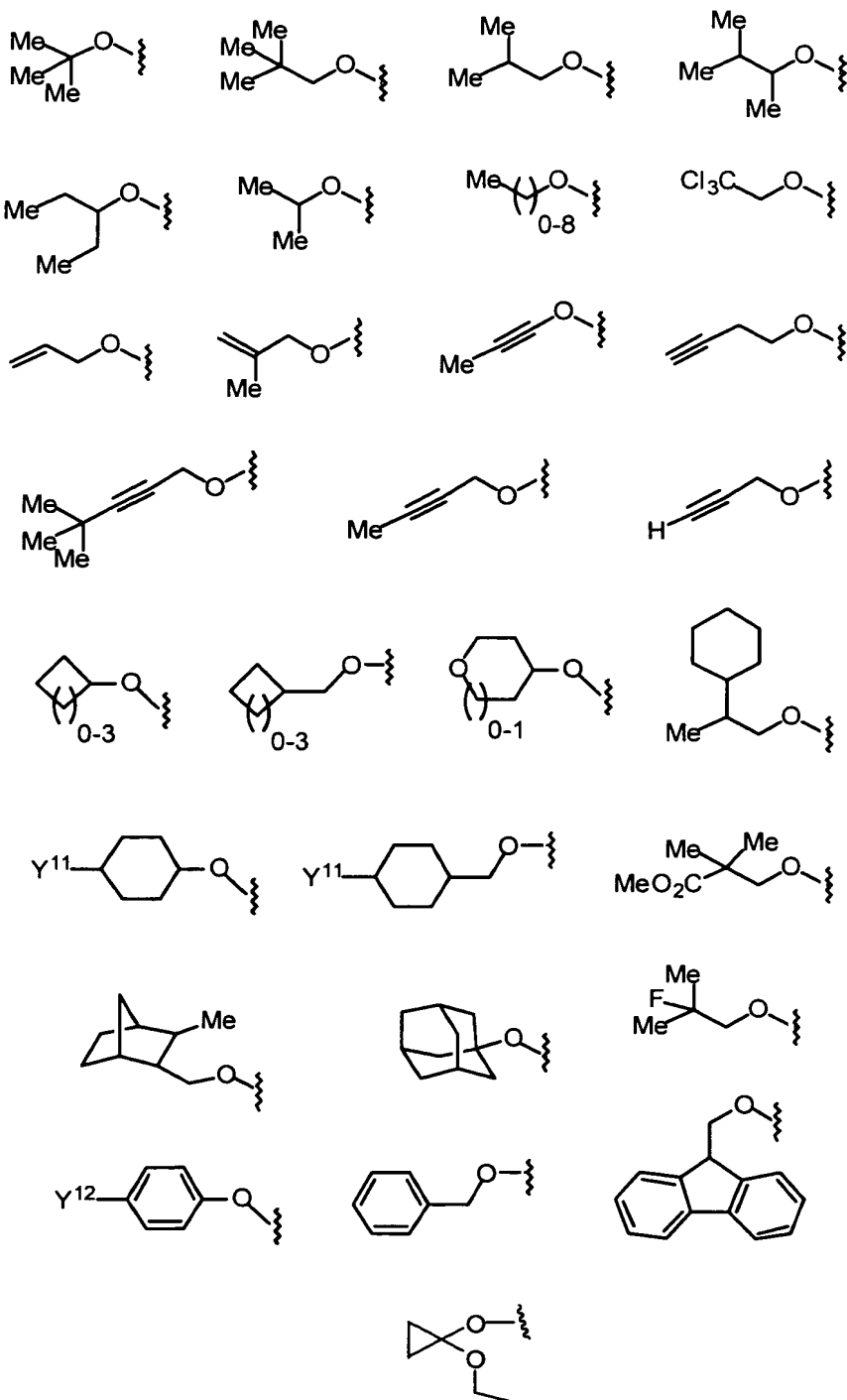
and Y^{20} is selected from the following moieties:



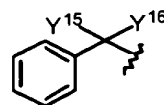
9. The compound of claim 8, wherein R^3 is selected from the group consisting of the following moieties:

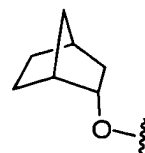
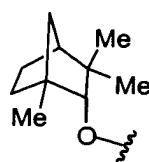
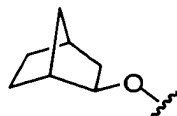
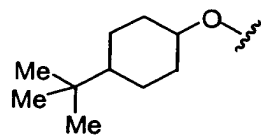
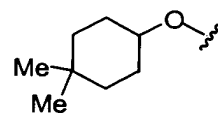
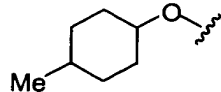
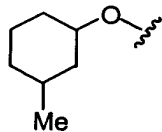
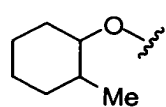
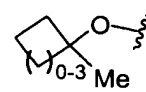
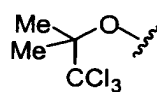
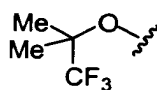
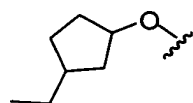
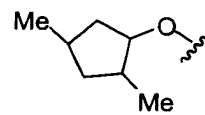
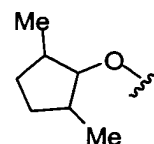
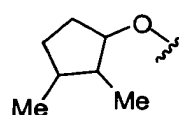
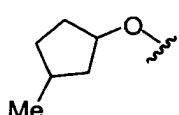
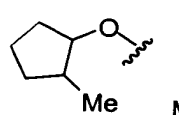
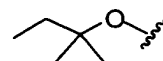
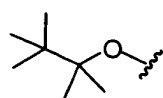
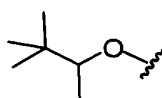
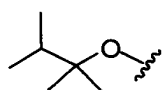
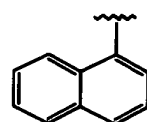
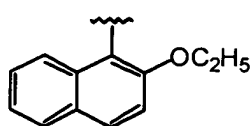
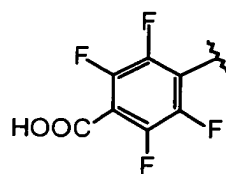
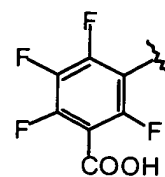
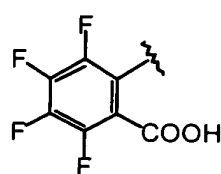
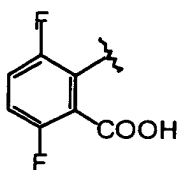
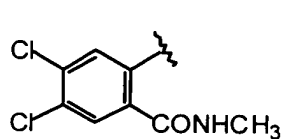
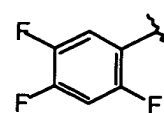
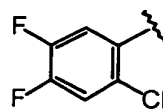
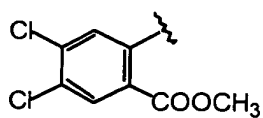
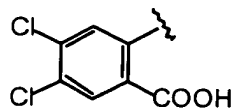


10. The compound of claim 9, wherein Z is N and R⁴ is H.
11. The compound of claim 10, wherein W is C=O.

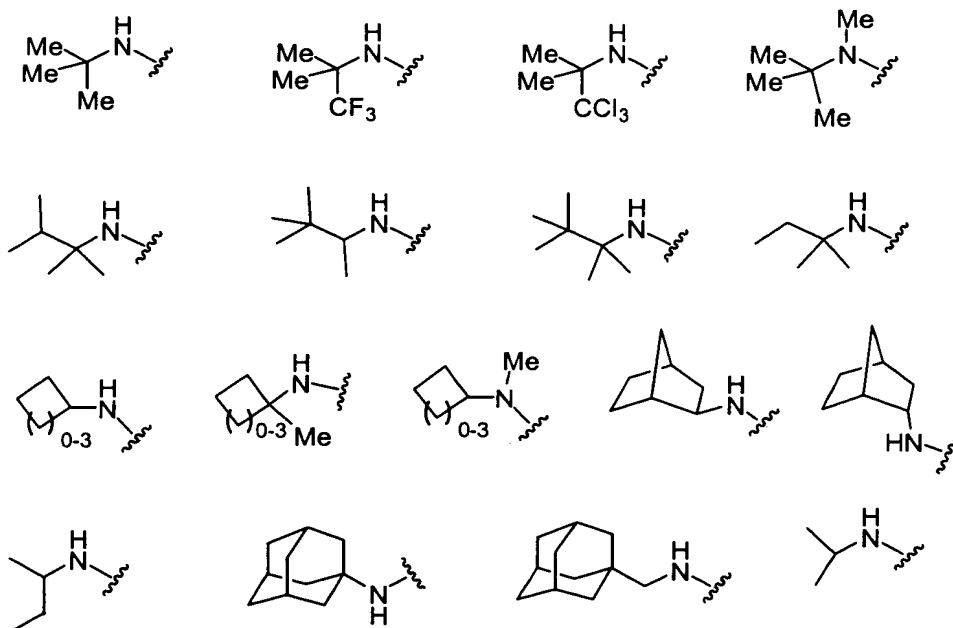
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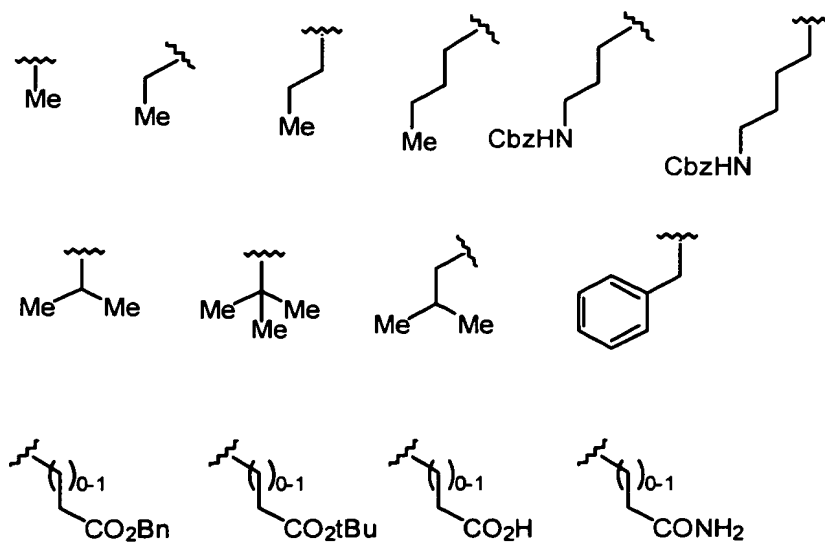
wherein:

Y^{11} is selected from H, COOH, COOEt, OMe, Ph, OPh,

5 . NHMe, NHAc, NHPH, CH(Me)₂, 1-triazolyl, 1-imidazolyl,
and NHCH₂COOH;

Y^{12} is selected from H, COOH, COOMe, OMe, F, Cl, or Br;

Y^{13} is selected from the following moieties:



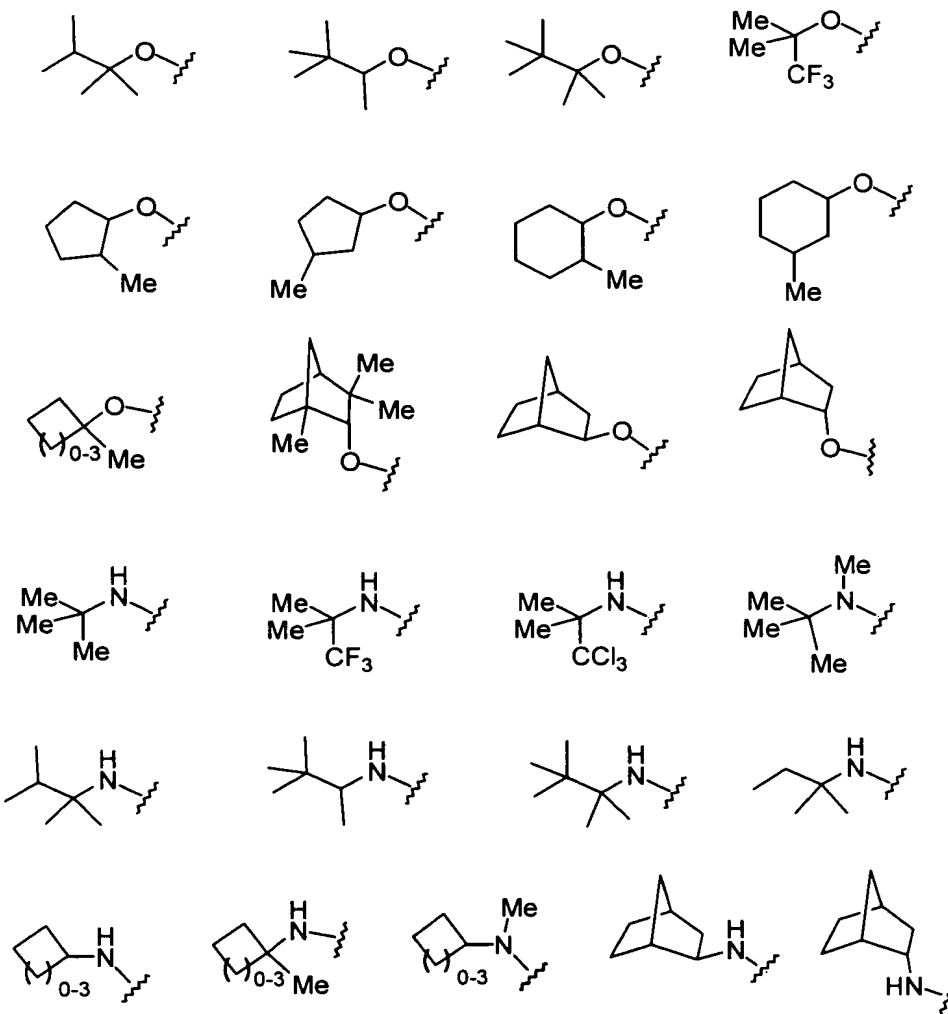
Y¹⁴ is selected from MeSO₂, Ac, Boc, iBoc, Cbz, or Alloc;

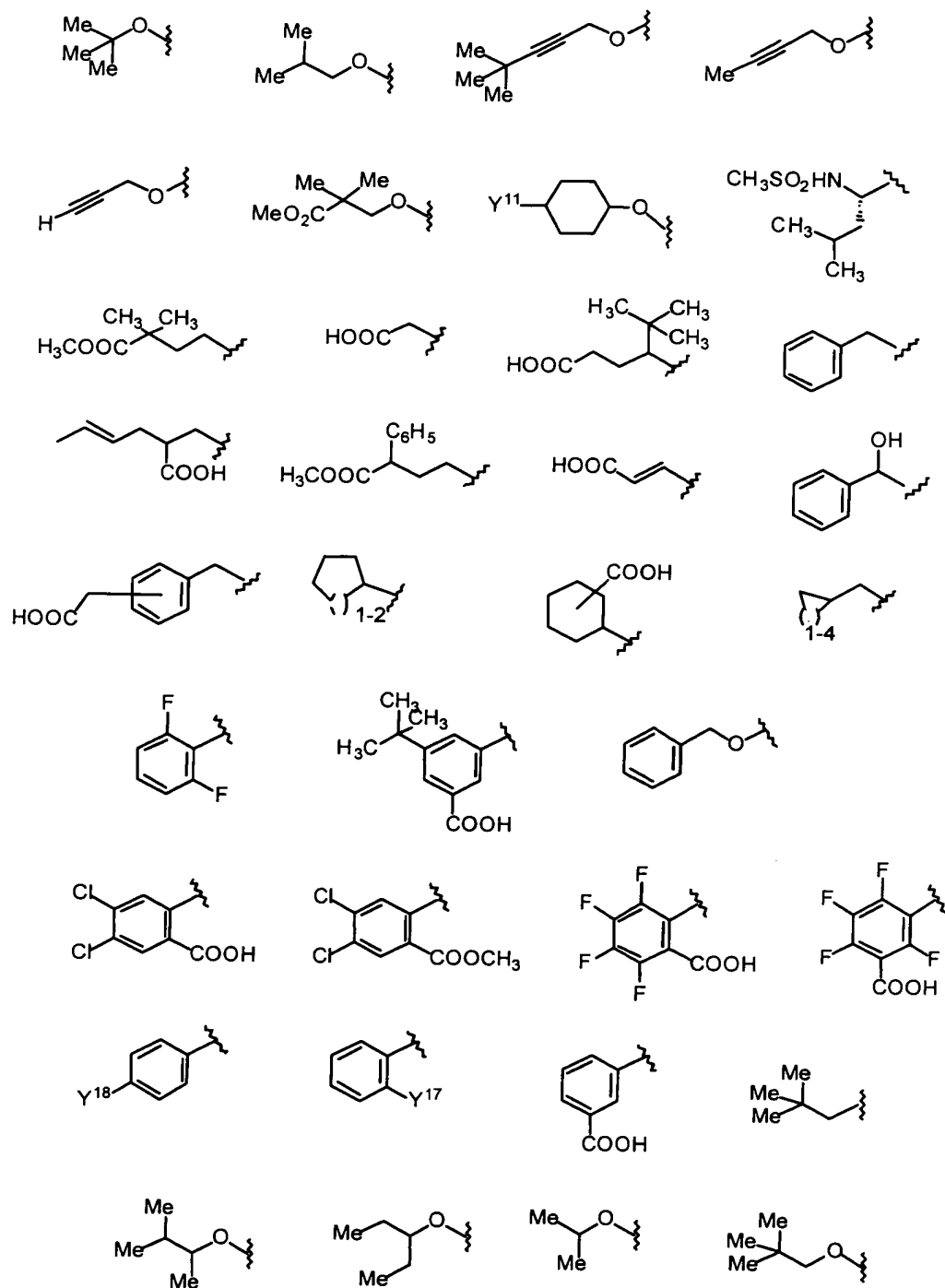
Y¹⁵ and Y¹⁶ are independently selected from alkyl, aryl, heteroalkyl, and heteroaryl;

- 5 Y¹⁷ is CF₃, NO₂, CONH₂, OH, COOCH₃, OCH₃, OC₆H₅, C₆H₅, COC₆H₅, NH₂, or COOH; and

Y¹⁸ is COOCH₃, NO₂, N(CH₃)₂, F, OCH₃, CH₂COOH, COOH, SO₂NH₂, or NHCOCH₃.

13. The compound of claim 12, wherein Y is selected from the group consisting
10 of:



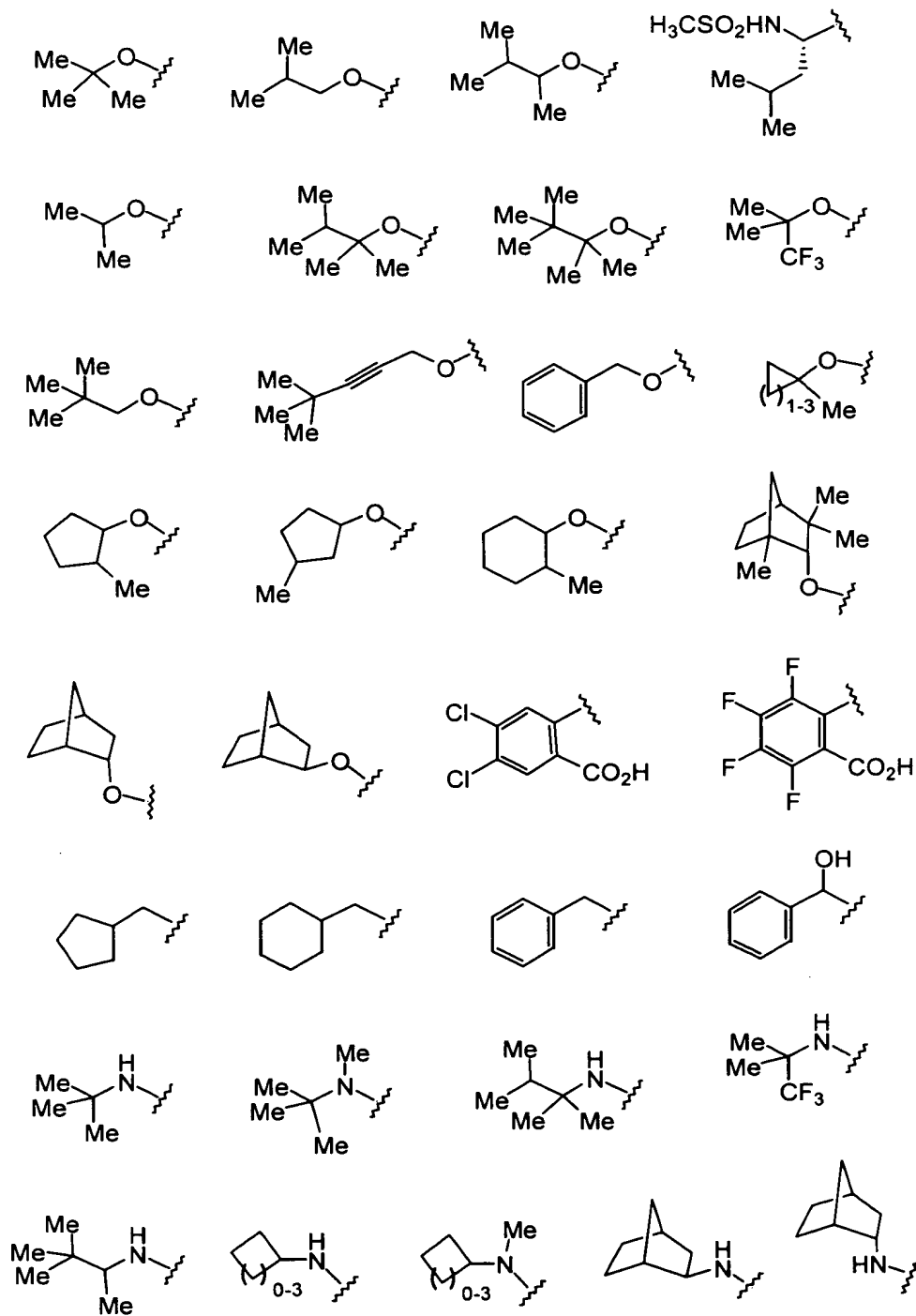


wherein:

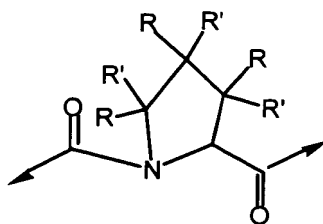
$\text{Y}^{17} = \text{CF}_3, \text{NO}_2, \text{CONH}_2, \text{OH}, \text{NH}_2, \text{or COOH};$

$\text{Y}^{18} = \text{F}, \text{COOH},$

14. The compound of claim 13, wherein Y is selected from the group consisting of:

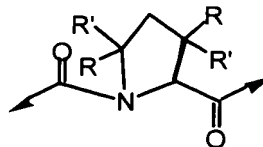
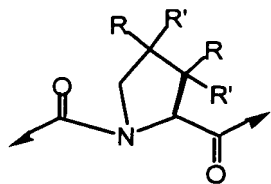
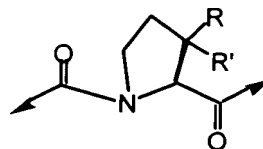
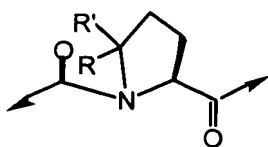
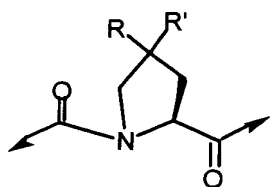


- 5

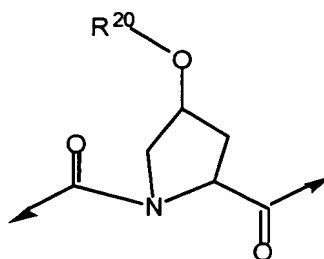


a

- 10

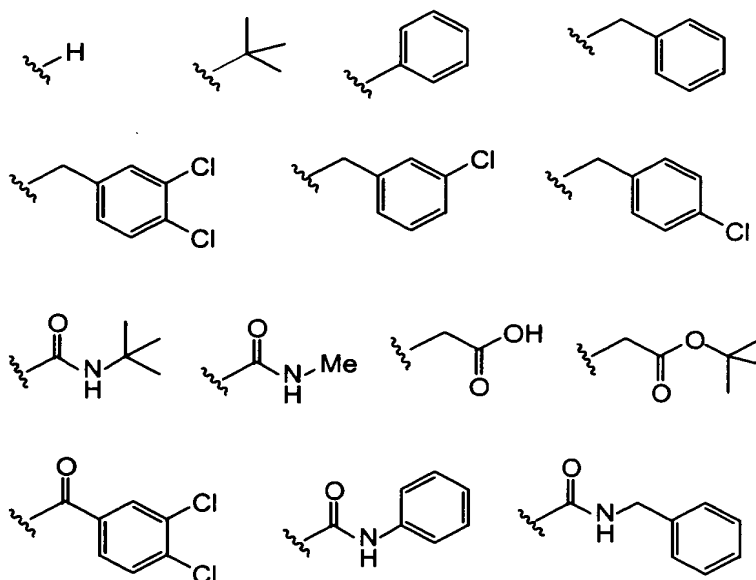


20. The compound of claim 18, wherein structure a is:

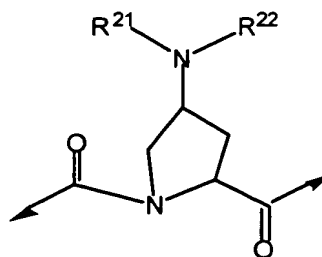


wherein R^{20} is selected from the following structures:

5



21. The compound of claim 18, wherein structure a is:

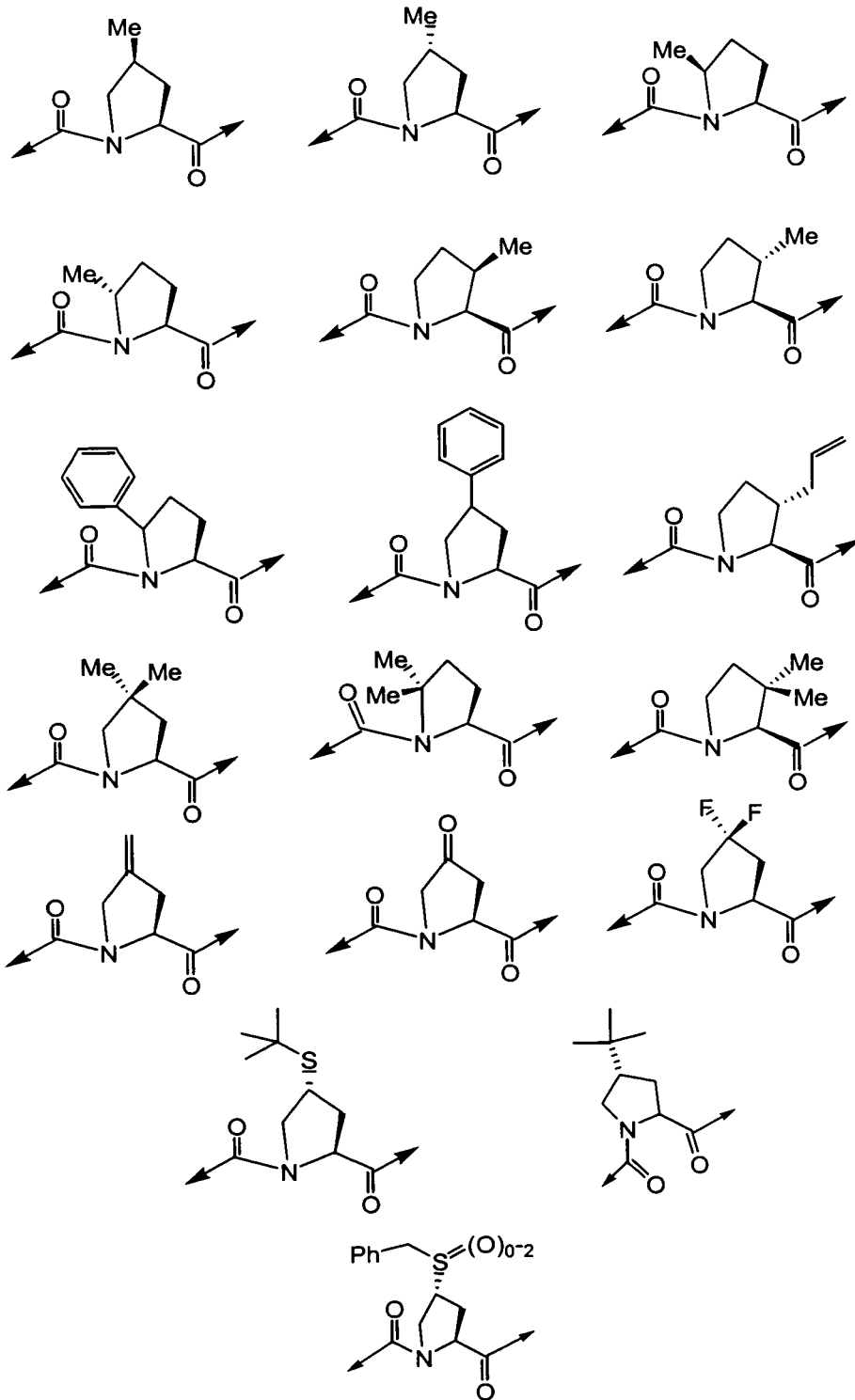


wherein R^{21} and R^{22} may be the same or different and are independently selected from the following structures:

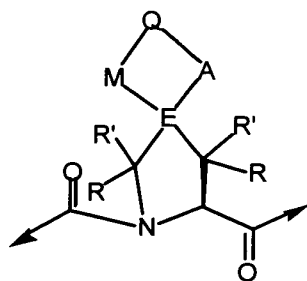
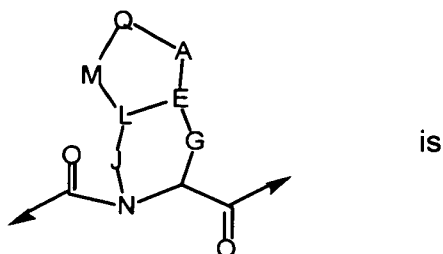
10



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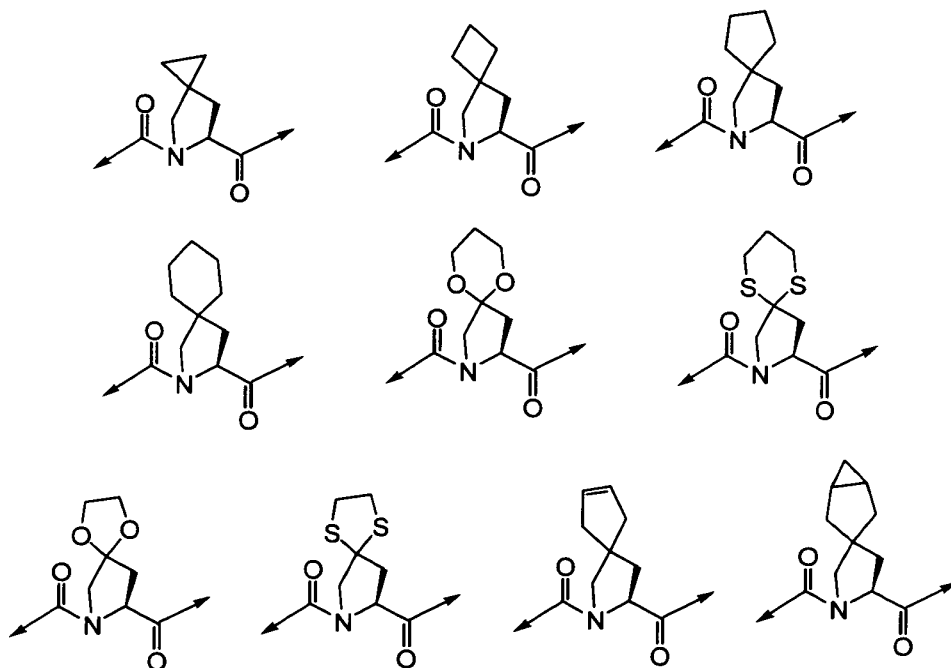
23. The compound of claim 14, wherein:



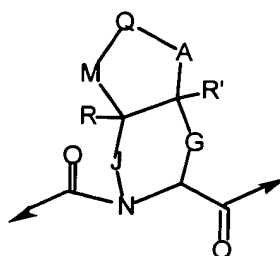
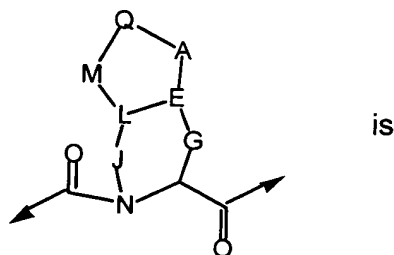
b

wherein Q may be present or absent, and if Q is absent, M is directly linked to A.

24. The compound of claim 23, wherein structure b is selected from the
5 following structures:



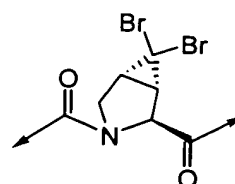
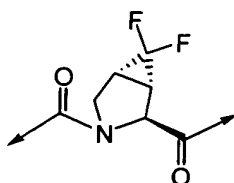
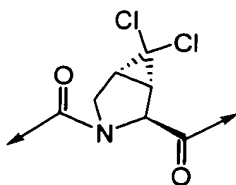
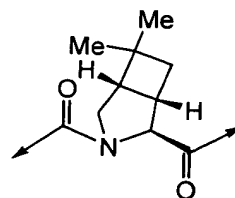
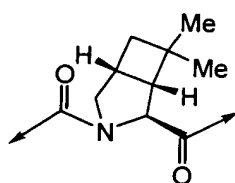
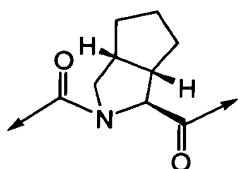
25. The compound of claim 14, wherein:

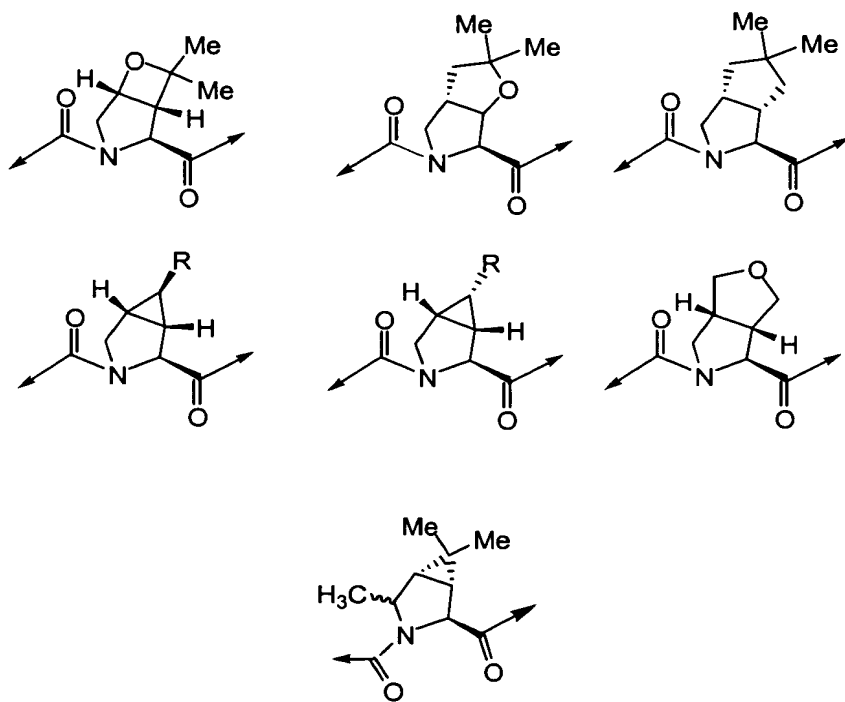


c

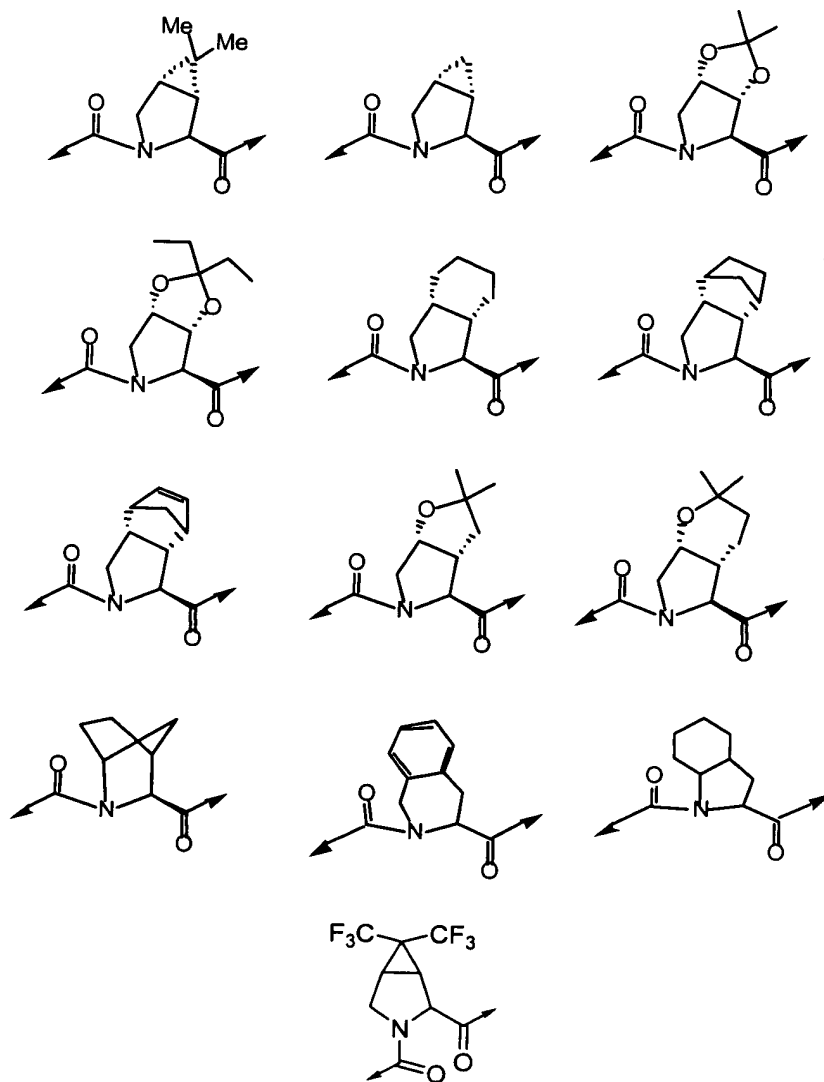
wherein G and J are independently selected from the group consisting of $(CH_2)_p$, $(CHR)_p$, $(CHR-CHR')_p$, and $(CRR')_p$; A and M are independently selected from the group consisting of O, S, SO_2 , NR, $(CH_2)_p$, $(CHR)_p$, $(CHR-CHR')_p$, and $(CRR')_p$; and Q is CH_2 , CHR, CRR', NH, NR, O, S, SO_2 , NR, $(CH_2)_p$, $(CHR)_p$, and $(CRR')_p$.

26. The compound of claim 25, wherein structure c is selected from the following structures:

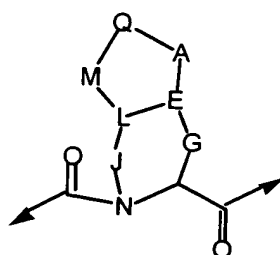




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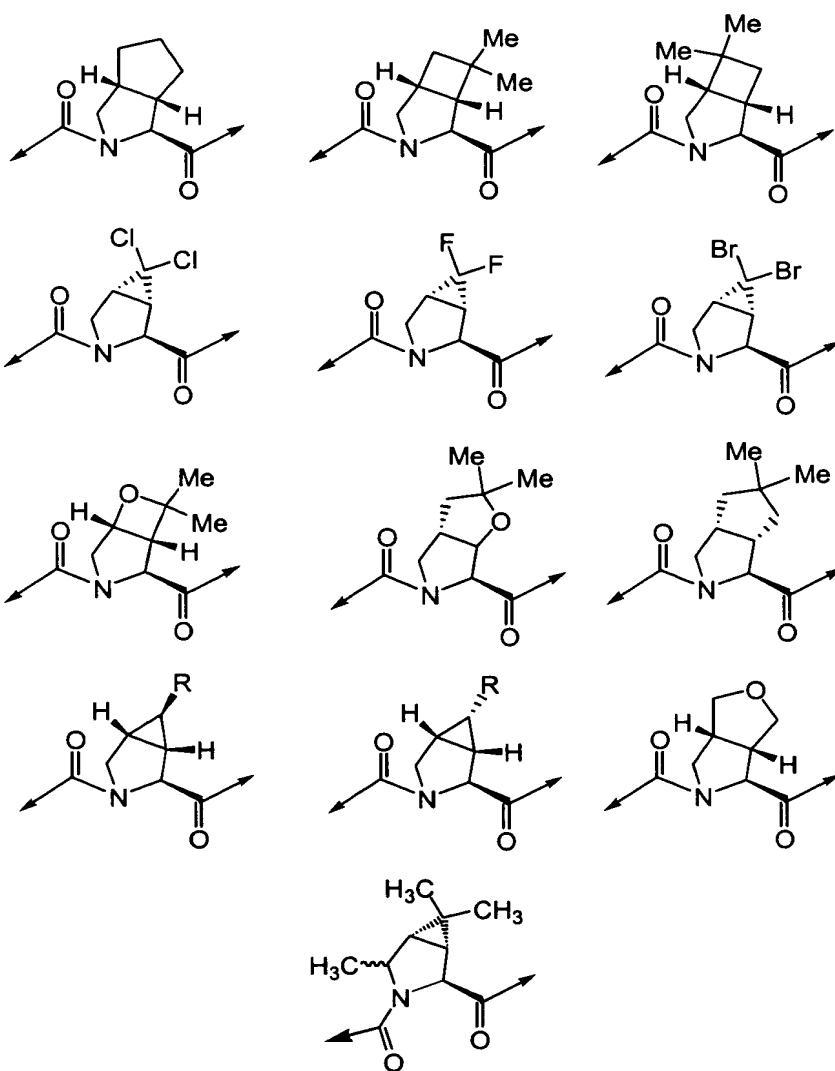


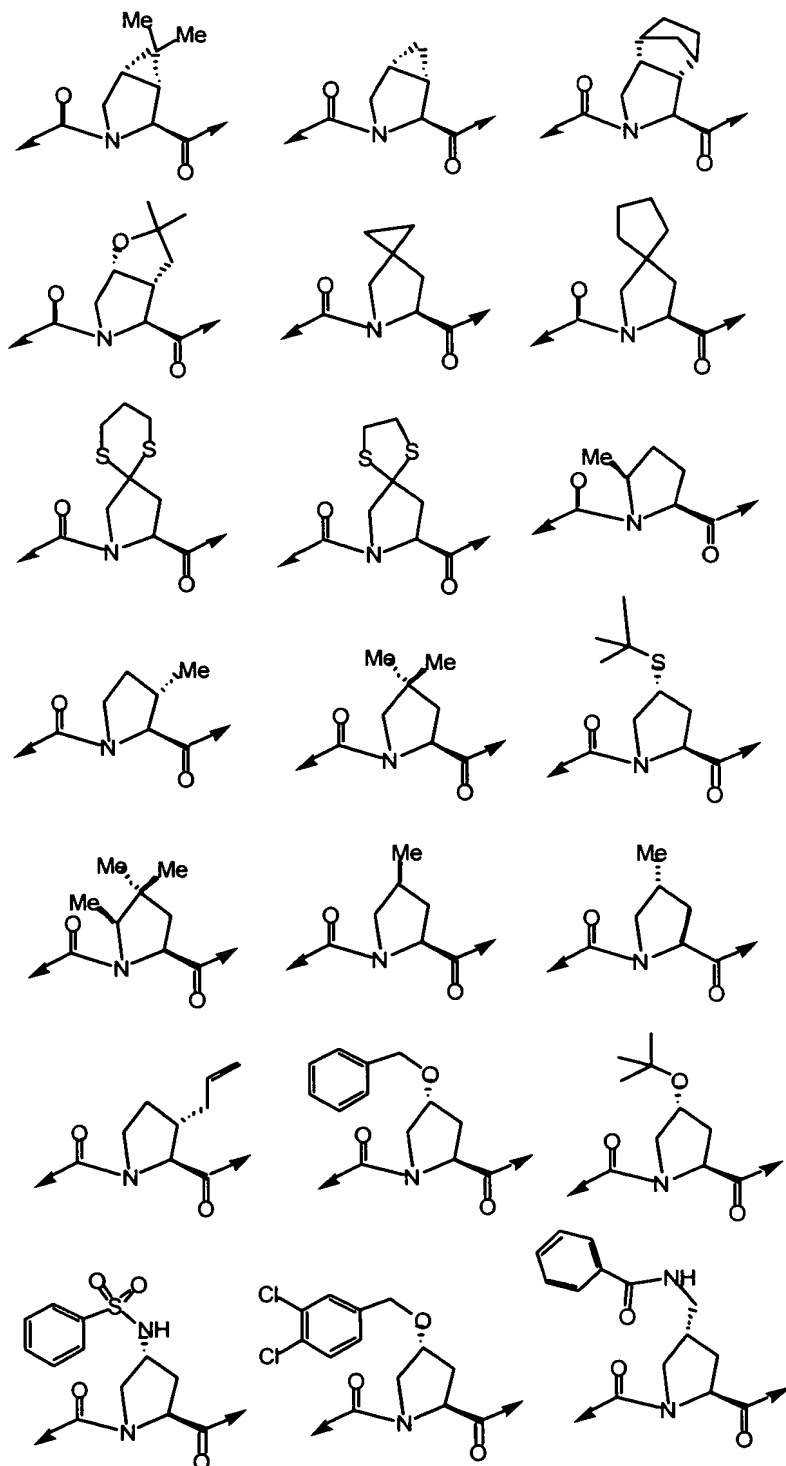
27. The compound of claim 14, wherein:



is selected from the following structures:

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28. The compound of claim 27, wherein:

